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\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JUL 28	CA/CAPLUS patent coverage enhanced
NEWS	3	JUL 28	EPFULL enhanced with additional legal status information from the epline Register
NEWS	4	JUL 28	IFICDB, IFIPAT, and IFIUIDB reloaded with enhancements
NEWS	5	JUL 28	STN Viewer performance improved
NEWS	6	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	7	AUG 13	CA/CAPLUS enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	8	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	9	AUG 15	CAPLUS currency for Korean patents enhanced
NEWS	10	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS	11	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS	12	SEP 25	CA/CAPLUS current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS	13	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and Korean patents enhanced
NEWS	14	SEP 29	IFICLS enhanced with new super search field
NEWS	15	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS	16	SEP 30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS	17	OCT 07	EPFULL enhanced with full implementation of EPC2000
NEWS	18	OCT 07	Multiple databases enhanced for more flexible patent number searching
NEWS	19	OCT 22	Current-awareness alert (SDI) setup and editing enhanced
NEWS	20	OCT 22	WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS	21	OCT 24	CHEMLIST enhanced with intermediate list of pre-registered REACH substances
NEWS EXPRESS	JUNE 27 08		CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS LOGIN			Welcome Banner and News Items
NEWS IPC8			For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 10:28:20 ON 04 NOV 2008

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 10:28:30 ON 04 NOV 2008

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 NOV 2008 HIGHEST RN 1070028-20-4

DICTIONARY FILE UPDATES: 2 NOV 2008 HIGHEST RN 1070028-20-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

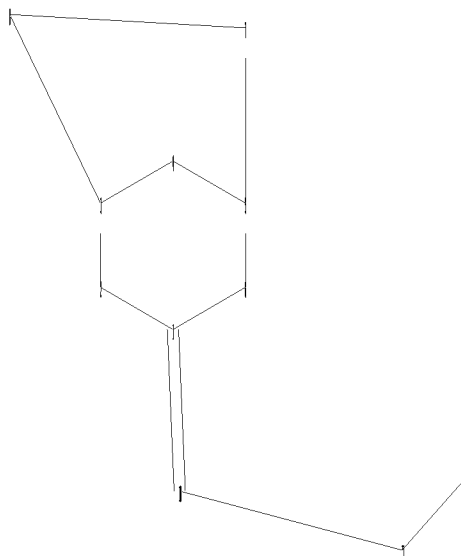
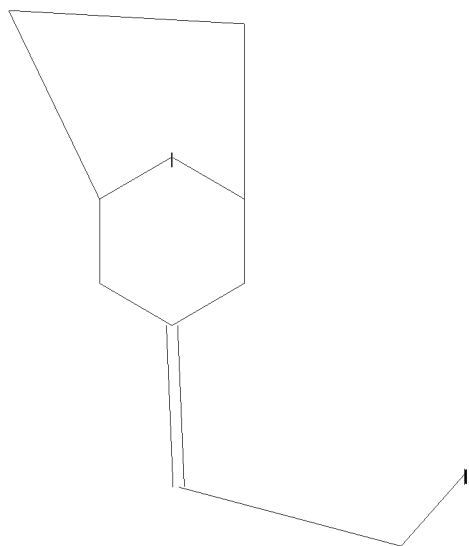
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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Uploading C:\Program Files\Stnexp\Queries\10575837.str



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chain nodes :
10 11 12
ring nodes :
1 2 3 4 5 6 7 8
chain bonds :
1-10 10-11 11-12
ring bonds :
1-2 1-6 2-3 3-4 3-8 4-5 5-6 5-7 7-8
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12
exact bonds :
1-10 3-8 5-7 7-8 10-11
isolated ring systems :
containing 1 :

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 10:CLASS 11:CLASS
12:CLASS

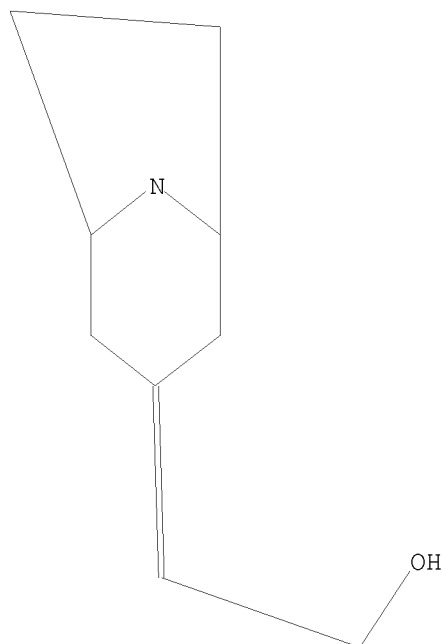
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L1        STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1                STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 10:28:49 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1593 TO ITERATE

100.0% PROCESSED 1593 ITERATIONS

33 ANSWERS

SEARCH TIME: 00.00.01

L2 33 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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178.57

FILE 'CAPLUS' ENTERED AT 10:28:58 ON 04 NOV 2008

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FILE COVERS 1907 - 4 Nov 2008 VOL 149 ISS 19

FILE LAST UPDATED: 3 Nov 2008 (20081103/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s l2 full

L3            16 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:110254 CAPLUS

DOCUMENT NUMBER: 148:331350

TITLE: Design and Synthesis of Potent Antileishmanial  
Cycloalkylidene-Substituted Ether Phospholipid  
Derivatives

AUTHOR(S): Calogeropoulou, Theodora; Angelou, Panagiotis; Detsi,  
Anastasia; Fragiadaki, Irene; Scoulica, Effie

CORPORATE SOURCE: Institute of Organic and Pharmaceutical Chemistry,  
National Hellenic Research Foundation, Athens, 11635,  
Greece

SOURCE: Journal of Medicinal Chemistry (2008), 51(4), 897-908  
CODEN: JMCMAR; ISSN: 0022-2623

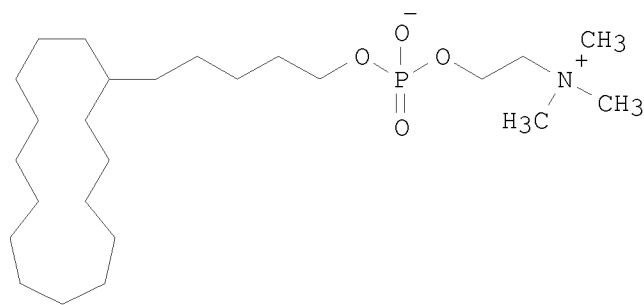
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:331350

GI



I

AB Two series of novel ether phospholipids (EPs) have been synthesized. The first includes cyclodecylidene- or cyclopentadecylidene-substituted EPs carrying N,N,N-trimethylammonium or N-methylpiperidino or N-methylmorpholino head groups. The second series encompasses more rigid head groups in combination with cycloalkylidene moieties in the lipid portion. In addition, hydrogenated derivs. were obtained. All the new analogs except one were 1.5- to 62-fold more potent than miltefosine against the intracellular *L. infantum*, and the most active ones were also less cytotoxic against the human monocytic cell line THP1 and less hemolytic than miltefosine. Some analogs combine high potency with low cytotoxicity and hemolytic activity. Cyclopentadecylpentylphosphocholine I possesses an IC<sub>50</sub> of 0.7  $\mu$ M against *L. infantum* amastigotes and is the least cytotoxic analog, since it does not present toxicity against THP1 macrophages, even at a concentration that is 800-fold the antiparasitic

IC<sub>50</sub> value, and does not present significant hemolytic activity.

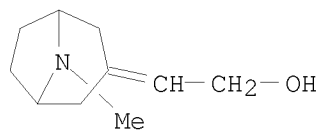
IT 380601-96-7P 1011461-49-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of alkyl ammonium toluene sulfonates in the preparation and antileishmanial activity of cycloalkylidene- or alkyl-substituted ether phospholipid ammonium salts)

RN 380601-96-7 CAPLUS

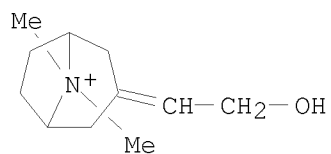
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RN 1011461-49-6 CAPLUS  
 CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-hydroxyethylidene)-8,8-dimethyl-,  
 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

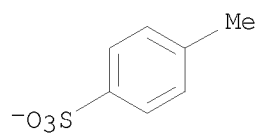
CM 1

CRN 1011461-48-5  
 CMF C11 H20 N O



CM 2

CRN 16722-51-3  
 CMF C7 H7 O3 S



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2006:978901 CAPLUS  
 DOCUMENT NUMBER: 145:348596  
 TITLE: Combination of a steroid sulfatase inhibitor and an  
 ascomycin for the treatment of inflammatory disorders  
 INVENTOR(S): Meingassner, Josef, Gottfried  
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH  
 SOURCE: PCT Int. Appl., 104pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006097293	A2	20060921	WO 2006-EP2383	20060315
WO 2006097293	A3	20061221		
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006224797	A1	20060921	AU 2006-224797	20060315
CA 2600329	A1	20060921	CA 2006-2600329	20060315
EP 1861099	A2	20071205	EP 2006-723452	20060315
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
JP 2008533080	T	20080821	JP 2008-501224	20060315
IN 2007DN06446	A	20070831	IN 2007-DN6446	20070820
CN 101137374	A	20080305	CN 2006-80007968	20070912
MX 200711434	A	20071012	MX 2007-11434	20070914
KR 2007112183	A	20071122	KR 2007-721074	20070914
PRIORITY APPLN. INFO.:			GB 2005-5539	A 20050317
			WO 2006-EP2383	W 20060315

AB A combination of a steroid sulfatase inhibitor and an ascomycin is prepred for the treatment of inflammatory disorders. Thus, 6.1 mL of a 50% propanephosphoric acid anhydride solution in DMF, 633 mg of N,N-dimethylaminopyridine in 50 mL of dimethylamine and 1.8 mL of diisopropylethylamine were added to a solution of 1.5 g of 8-aza-bicyclo[4.3.1]decane-8,10-dicarboxylic acid 8-tert-Bu ester, and 2.3 g of 3,5-bis(trifluoromethyl)phenylsulfonamide, the mixture obtained was stirred at 40° and diluted with EtAc. The mixture was distilled and the residue obtained was purified to obtain 10-(3,5-Bis-trifluoromethylbenzenesulfonylamino-carbonyl)-8-aza-bicyclo[4.3.1]decane-8-carboxylic acid tert-Bu ester in the form of a sodium salt which was treated with HCl to obtain the ester form (I). Efficacy of a combination of I and ascomycin in the treatment of skin inflammation in mice is shown.

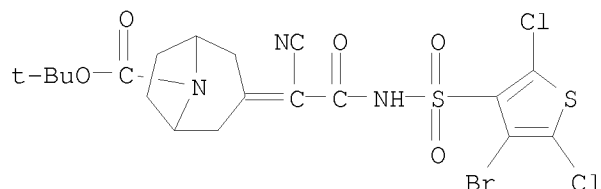
IT 512821-16-8P 512821-27-1P 512821-29-3P  
 512821-30-6P 512821-31-7P 512821-32-8P  
 512821-33-9P 512821-34-0P 512821-35-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)



(combination of steroid sulfatase inhibitor and ascomycin for treatment of inflammatory disorders)

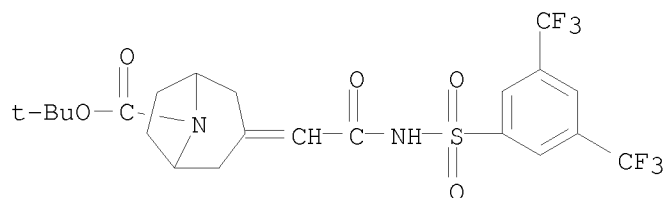
RN 512821-16-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
3-[2-[[[4-bromo-2,5-dichloro-3-thienyl)sulfonyl]amino]-1-cyano-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



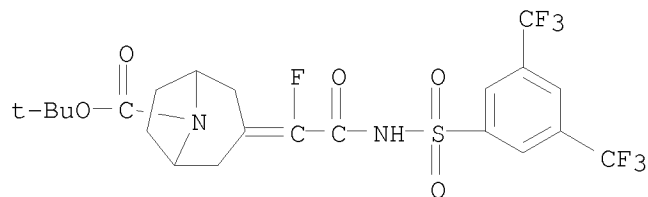
RN 512821-27-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
3-[2-[[[3,5-bis(trifluoromethyl)phenyl)sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



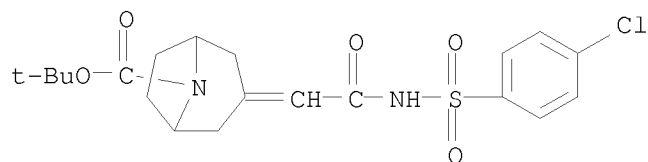
RN 512821-29-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
3-[2-[[[3,5-bis(trifluoromethyl)phenyl)sulfonyl]amino]-1-fluoro-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



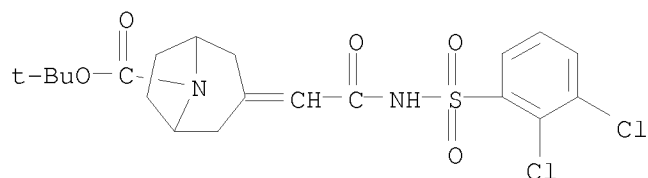
RN 512821-30-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
3-[2-[[[4-chlorophenyl)sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

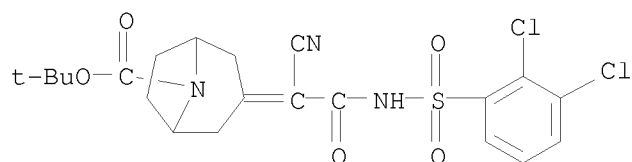


RN 512821-31-7 CAPLUS

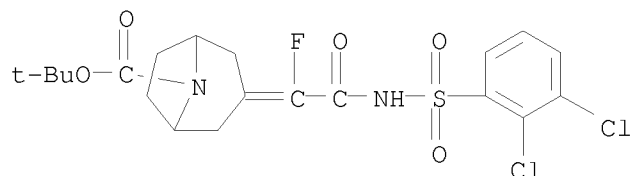
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
3-[2-[[[(2,3-dichlorophenyl)sulfonyl]amino]-2-oxoethylidene]-,  
1,1-dimethylethyl ester (CA INDEX NAME)



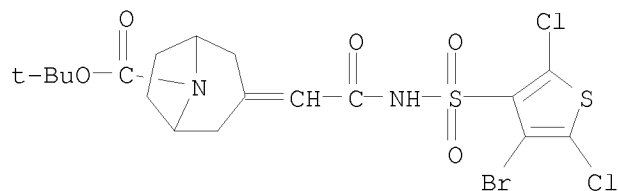
RN 512821-32-8 CAPLUS  
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1,1-dimethylethyl ester (CA INDEX NAME)



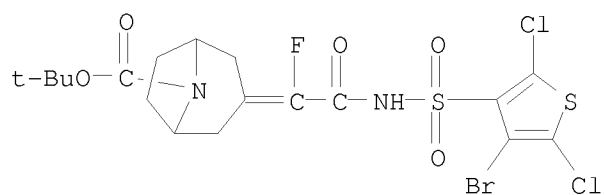
RN 512821-33-9 CAPLUS  
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
3-[2-[[[(2,3-dichlorophenyl)sulfonyl]amino]-1-fluoro-2-oxoethylidene]-,  
1,1-dimethylethyl ester (CA INDEX NAME)



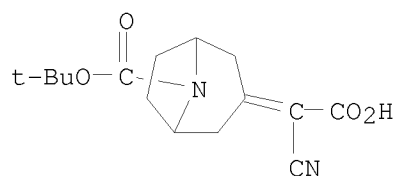
RN 512821-34-0 CAPLUS  
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
3-[2-[[[(4-bromo-2,5-dichloro-3-thienyl)sulfonyl]amino]-2-oxoethylidene]-,  
1,1-dimethylethyl ester (CA INDEX NAME)



RN 512821-35-1 CAPLUS  
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3-[2-[[[(4-bromo-2,5-dichloro-3-thienyl)sulfonyl]amino]-1-fluoro-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 512822-38-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (combination of steroid sulfatase inhibitor and ascomycin for treatment  
 of inflammatory disorders)  
 RN 512822-38-7 CAPLUS  
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(carboxycyanomethylene)-,  
 8-(1,1-dimethylethyl) ester (CA INDEX NAME)



L3 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:976823 CAPLUS

DOCUMENT NUMBER: 145:356656

TITLE: Preparation of (hetero)arylsulfonamides as steroid sulfatase inhibitors for treatment of inflammatory diseases

INVENTOR(S): Meingassner, Josef Gottfried

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 104pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

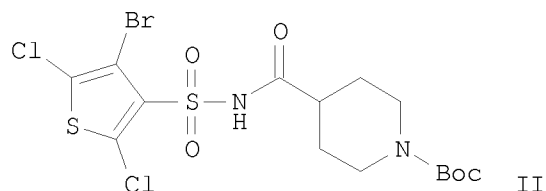
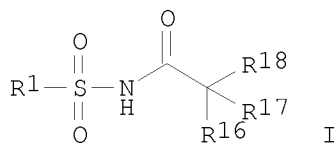
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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AU 2006224796	A1	20060921	AU 2006-224796	20060315
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EP 1861098	A1	20071205	EP 2006-707567	20060315
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JP 2008533079	T	20080821	JP 2008-501223	20060315
IN 2007DN06443	A	20070831	IN 2007-DN6443	20070820
CN 101137375	A	20080305	CN 2006-80008024	20070912
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GI

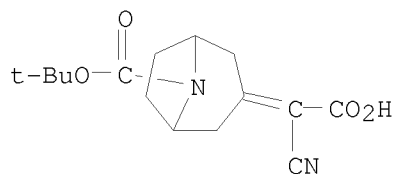


AB Title compds. represented by the formula I [wherein R1 = haloalkyl, (un)substituted alkenyl, Ph, thienyl, etc.; R16 = H, R17R18 = (un)substituted piperidiny1, cycloalkyl, bridged cycloalkyl, etc.] were prepared as steroid sulfatase inhibitors. For example, II was provided in a multi-step synthesis starting from 4-bromo-2,5-dichlorothiophene-3-sulfonyl chloride. I showed activity in human steroid sulfatase assay (IC50 = 0.0046 ~ 10), in CHO/STS assay (IC50 = 0.05 ~ 10) and in human skin homogenate (IC50 = 0.03 ~ 10  $\mu$ M). The use of a steroid sulfatase inhibitor in the preparation of a medicament for the treatment of inflammatory diseases.

IT 512822-38-7P, 3-(Carboxy-1-cyanomethylene)-8-azabicyclo[3.2.1]octane-8-carboxylic acid tert-butyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of (hetero)arylsulfonamide derivs. as steroid sulfatase inhibitors for treatment of inflammatory diseases)

RN 512822-38-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(carboxycyanomethylene)-, 8-(1,1-dimethylethyl) ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1026605 CAPLUS

DOCUMENT NUMBER: 143:326374

TITLE: Preparation of tetrahydroquinoline analogs such as benzoxazinones as muscarinic agonists useful against mental and other disorders

INVENTOR(S): Skjaerbaek, Niels; Koch, Kristian Norup; Friberg, Bo Lennart Mikael; Tolf, Bo-Ragnar

PATENT ASSIGNEE(S): Den.

SOURCE: U.S. Pat. Appl. Publ., 74 pp., Cont.-in-part of U.S. Ser. No. 329,455.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

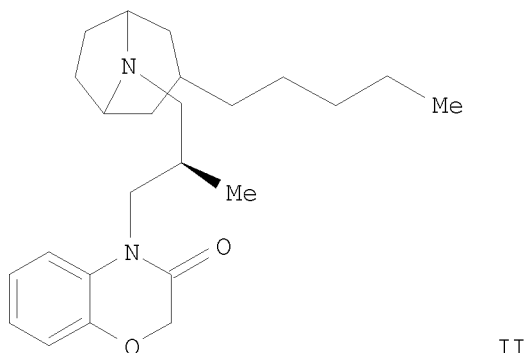
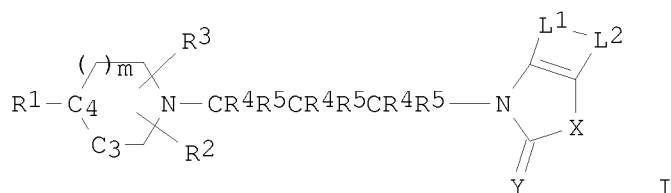
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050209226	A1	20050922	US 2004-19556	20041221
US 20030176418	A1	20030918	US 2002-329455	20021223
US 7307075	B2	20071211		
AU 2005319426	A2	20060629	AU 2005-319426	20051215
AU 2005319426	A1	20060629		
CA 2591766	A1	20060629	CA 2005-2591766	20051215
WO 2006068904	A1	20060629	WO 2005-US45313	20051215
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1828176	A1	20070905	EP 2005-854098	20051215
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
JP 2008524328	T	20080710	JP 2007-548300	20051215
US 20060199813	A1	20060907	US 2006-417865	20060503
US 20060199810	A1	20060907	US 2006-417867	20060503
MX 200707588	A	20070808	MX 2007-7588	20070621
NO 2007003183	A	20070917	NO 2007-3183	20070621
IN 2007MN01046	A	20070817	IN 2007-MN1046	20070712
KR 2007090003	A	20070904	KR 2007-715954	20070712
CN 101124222	A	20080213	CN 2005-80048487	20070820
PRIORITY APPLN. INFO.:			US 2001-344722P	P 20011228
			US 2002-329455	A2 20021223
			US 2004-19556	A 20041221
			WO 2005-US45313	W 20051215

OTHER SOURCE(S): MARPAT 143:326374

GI



AB The present invention relates to tetrahydroquinoline compds. (shown as I; variables defined below; e.g. II) as muscarinic receptor agonists (especially the M1 and M4 subtypes); compns. comprising the same; methods of inhibiting an activity of a muscarinic receptor with said compds.; methods of treating a disease condition associated with a muscarinic receptor using said compds.; and methods for identifying a subject suitable for treatment using said compds. Some of the compds. of the invention also exhibit functional dopamine antagonism. Values for %efficacy and pEC50 are tabulated for about 25 examples of I for M1-M5 muscarinic receptors showing selectivity towards M1 and M4 subtypes. For I: R1 = (un)substituted C1-6-alkyl, C2-6-alkylidene, C2-6-alkenyl, C2-6-alkynyl, O-C1-6-alkyl, O-C2-6-alkenyl, O-C2-6-alkynyl, S-C1-6-alkyl, S-C2-6-alkenyl, or S-C2-6-alkynyl; m = 0-2; C3-C4 is CH<sub>2</sub>-CH or CH=C or C4 is CH and C3 is absent; R2 and R3 = H, (un)substituted C1-6 alkyl, (un)substituted O-C1-6 alkyl, halogen, hydroxy or selected such that R2 and R3 together form a ring system; each R4 and R5 = H, halogen, hydroxy, (un)substituted C1-6-alkyl, (un)substituted O-C1-6-alkyl, (un)substituted aryl-C1-6alkyl, and (un)substituted arylheteroalkyl. L1 and L2 are biradicals independently = -C(R6):C(R7), -C(R6):N-, -N:C(R6)-, -S-, -NH- and -O-; wherein only one of L1 and L2 may be -S-, -NH- and -O-; Y = O, S, and H<sub>2</sub>; X is a biradical = -C(R6)(R7)-C(R6)(R7)-, -C(R6):C(R7)-, -OC(R6)(R7)-, C(R6)(R7)O-, -SC(R6)(R7)-, -C(R6)(R7)S-, -N(RN)C(R6)(R7)-, -C(R6)(R7)N(RN)-, -C(R6)(R7)C(R6)(R7)C(R6)(R7)-, -O-C(R6)(R7)C(R6)(R7)-, SC(R6)(R7)C(R6)(R7)-, N(RN)C(R6)(R7)C(R6)(R7)-, -C(R6)(R7)C(R6)(R7)O-, -C(R6)(R7)C(R6)(R7)S-, -C(R6)(R7)-C(R6)(R7)-N(RN)-, -C(R6)(R7)C(R6):C(R7)-, and -C(R6):C(R7)C(R6)(R7), wherein R6 and R7 = H, halogen, hydroxy, nitro, cyano, NRNRN, N(RN)C(O)N(RN), (un)substituted C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, (un)substituted OC1-6-alkyl, (un)substituted O-aryl, (un)substituted O-C2-6-alkenyl, (un)substituted OC2-6-alkynyl wherein RN = H, and (un)substituted C1-6-alkyl. Although the methods of preparation are not claimed, many example preps. of intermediates and I are included.

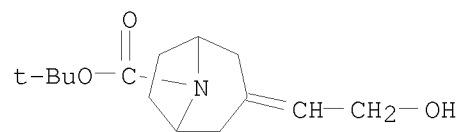
IT 257628-74-3P, 3-(2-Hydroxyethylidene)-8-azabicyclo[3.2.1]octane-8-carboxylic acid tert-butyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetrahydroquinoline analogs such as benzoxazinones as muscarinic agonists useful against mental and other disorders)

RN 257628-74-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(2-hydroxyethylidene)-,  
1,1-dimethylethyl ester (CA INDEX NAME)





L3 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:369242 CAPLUS  
DOCUMENT NUMBER: 142:423890  
TITLE: 8-Methyl-8-aza-bicyclo[3.2.1]octane derivative  
muscarinic acetylcholine receptor antagonists, their  
preparation, and their therapeutic use  
INVENTOR(S): Palovich, Michael R.; Wan, Zehong; Zhu, Chongjie  
PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
SOURCE: PCT Int. Appl., 15 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037224	A2	20050428	WO 2004-US34234	20041015
WO 2005037224	A3	20050623		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004281167	A1	20050428	AU 2004-281167	20041015
CA 2542636	A1	20050428	CA 2004-2542636	20041015
EP 1677796	A2	20060712	EP 2004-795406	20041015
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR			
BR 2004015281	A	20061219	BR 2004-15281	20041015
CN 1897947	A	20070117	CN 2004-80038046	20041015
JP 2007509061	T	20070412	JP 2006-535384	20041015
IN 2006DN01989	A	20070803	IN 2006-DN1989	20060412
US 20070135478	A1	20070614	US 2006-575837	20060413
KR 2007017965	A	20070213	KR 2006-707165	20060414
MX 2006PA04242	A	20060628	MX 2006-PA4242	20060417
NO 2006002071	A	20060508	NO 2006-2071	20060508
PRIORITY APPLN. INFO.:			US 2003-512161P	P 20031017
			WO 2004-US34234	W 20041015

OTHER SOURCE(S): MARPAT 142:423890

AB 8-Methyl-8-aza-bicyclo[3.2.1]octane derivative muscarinic acetylcholine receptor antagonists are provided. Compound preparation is included. The compds. of the invention may be used to treat muscarinic acetylcholine receptor-mediated diseases.

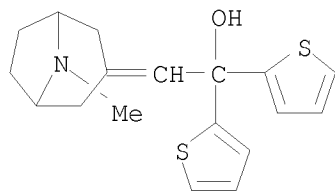
IT 850607-46-4P 850607-47-5P 850607-48-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(azabicyclooctane derivative muscarinic acetylcholine receptor antagonists, preparation, and therapeutic use)

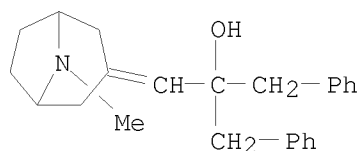
RN 850607-46-4 CAPLUS

CN 2-Thiophenemethanol,  $\alpha$ -[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- $\alpha$ -2-thienyl- (CA INDEX NAME)



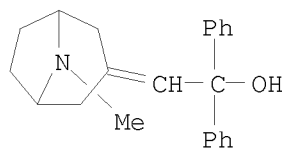
RN 850607-47-5 CAPLUS

CN Benzenethanol,  $\alpha$ -[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- $\alpha$ -(phenylmethyl)- (CA INDEX NAME)



RN 850607-48-6 CAPLUS

CN Benzenemethanol,  $\alpha$ -[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- $\alpha$ -phenyl- (CA INDEX NAME)



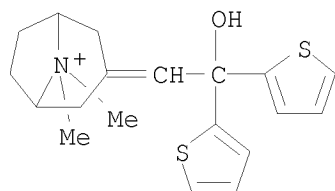
IT 850607-49-7P 850607-50-0P 850607-51-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(azabicyclooctane derivative muscarinic acetylcholine receptor antagonists, preparation, and therapeutic use)

RN 850607-49-7 CAPLUS

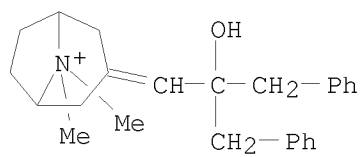
CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-hydroxy-2,2-di-2-thienylethylidene)-8,8-dimethyl-, iodide (1:1) (CA INDEX NAME)



● I<sup>-</sup>

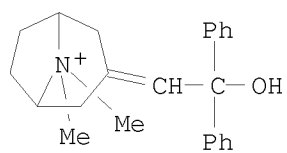
RN 850607-50-0 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-[2-hydroxy-3-phenyl-2-(phenylmethyl)propylidene]-8,8-dimethyl-, iodide (1:1) (CA INDEX NAME)



RN 850607-51-1 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-hydroxy-2,2-diphenylethylidene)-8,8-dimethyl-, iodide (1:1) (CA INDEX NAME)



L3 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:220312 CAPLUS

DOCUMENT NUMBER: 140:270742

TITLE: Preparation of (N-pyrrolidinyl)acrylamide derivatives as CCR3 antagonists for treatment of asthma

INVENTOR(S): Morihira, Koichiro; Kubota, Hirokazu; Sato, Ippei; Yokoyama, Kazuhiro; Morokata, Tatsuaki; Yokota, Masaki; Imaoka, Takayuki; Kaneko, Masayuki; Funahashi, Miyuki; Kaneeda, Masanobu

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Toray Industries, Inc.

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

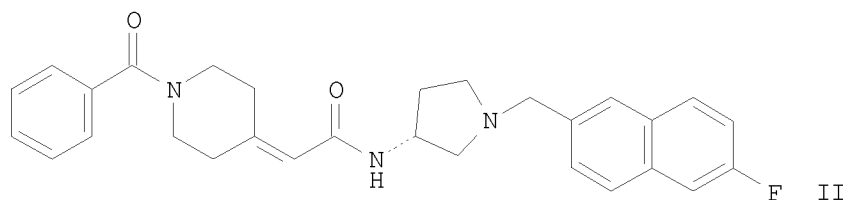
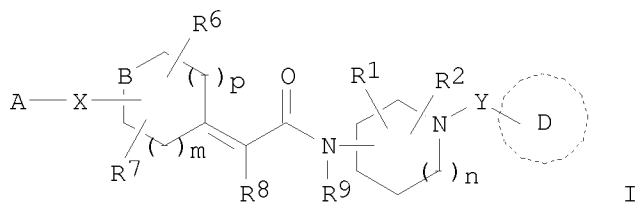
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022535	A1	20040318	WO 2003-JP10845	20030827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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JP 2004083511	A	20040318	JP 2002-248660	20020828
JP 2006076884	A	20060323	JP 2003-91009	20030328
AU 2003261756	A1	20040329	AU 2003-261756	20030827
PRIORITY APPLN. INFO.:			JP 2002-248660	A 20020828
			JP 2003-91009	A 20030328
			WO 2003-JP10845	W 20030827

OTHER SOURCE(S): MARPAT 140:270742

GI



AB The title acrylamide derivs. with general formula of I [wherein B = O, S,

SO, SO<sub>2</sub>, (un)substituted CH<sub>2</sub>, or NH; A = H, (un)substituted hydrocarbyl, or heterocyclyl; X = a single bond, alkenylene, alkynylene, O, S, SO, SO<sub>2</sub>, CO, CO<sub>2</sub>, (un)substituted NH, CONH, NHCO, etc.; R<sub>6</sub> and R<sub>7</sub> = independently H, halo, CN, CONH<sub>2</sub>, CO<sub>2</sub>H, (un)substituted OH, etc.; p = 0-2; m = 0-2; n = 0-2; Y = oxo, (un)substituted alkylene, or alkenylene; R<sub>8</sub> = H, halo, or (un)substituted alkyl; R<sub>9</sub> = H or alkyl; R<sub>1</sub> and R<sub>2</sub> = independently H, halo, CN, CONH<sub>2</sub>, CO<sub>2</sub>H, (un)substituted OH, etc.; ring D = (un)substituted aryl, heterocyclyl, cycloalkyl, etc.] or pharmaceutically acceptable salts thereof are prepared as chemokine receptor (CCR) 3 antagonists. For example, the compound II was prepared in a multi-step synthesis. Some of compds. I showed inhibitory activity with IC<sub>50</sub> of <10 μM against human CCR3 in vitro. I are efficacious in treating diseases in which CCR3 participates, for example, asthma (no data).

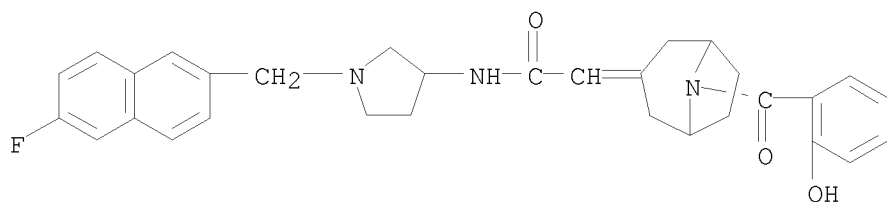
IT 672957-66-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (N-pyrrolidinyl)acrylamide derivs. as CCR3 antagonists for treatment of asthma)

RN 672957-66-3 CAPLUS

CN Acetamide, N-[1-[(6-fluoro-2-naphthalenyl)methyl]-3-pyrrolidinyl]-2-[8-(2-hydroxybenzoyl)-8-azabicyclo[3.2.1]oct-3-ylidene]- (CA INDEX NAME)



IT 672957-80-1P 672957-82-3P

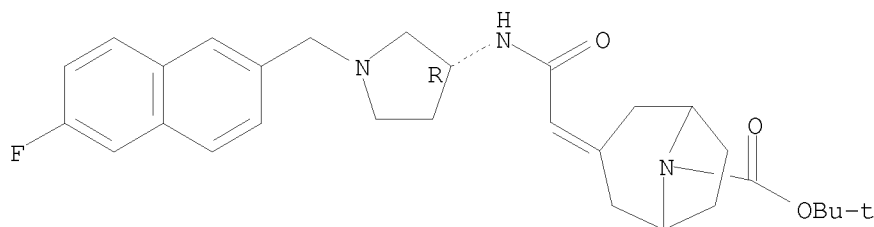
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (N-pyrrolidinyl)acrylamide derivs. as CCR3 antagonists for treatment of asthma)

RN 672957-80-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[[(3R)-1-[(6-fluoro-2-naphthalenyl)methyl]-3-pyrrolidinyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

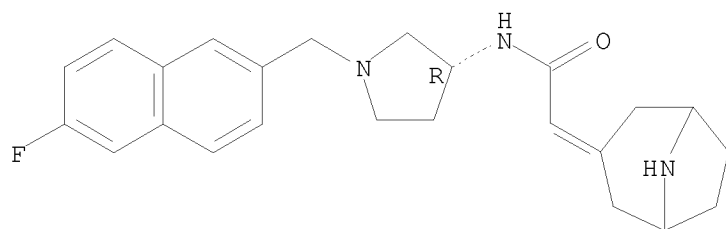
Absolute stereochemistry.



RN 672957-82-3 CAPLUS

CN Acetamide, 2-(8-azabicyclo[3.2.1]oct-3-ylidene)-N-[(3R)-1-[(6-fluoro-2-naphthalenyl)methyl]-3-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:301040 CAPLUS  
DOCUMENT NUMBER: 138:321135  
TITLE: Preparation of N-(piperidin-4-ylcarbonyl)  
acylsulfonamides as inhibitors of steroid sulfatase  
INVENTOR(S): Horvath, Amarylla; Lehr, Philipp; Nussbaumer, Peter;  
Schreiner, Erwin Paul  
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma G.m.b.H.  
SOURCE: PCT Int. Appl., 126 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

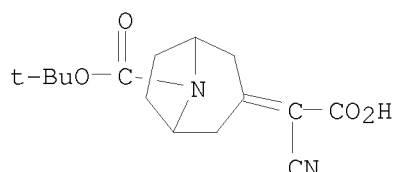
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031397	A1	20030417	WO 2002-EP11140	20021004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
CA 2458453	A1	20030417	CA 2002-2458453	20021004
AU 2002350490	A1	20030422	AU 2002-350490	20021004
AU 2002350490	B2	20060727		
EP 1436253	A1	20040714	EP 2002-785159	20021004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013131	A	20040921	BR 2002-13131	20021004
HU 2004001687	A2	20041129	HU 2004-1687	20021004
HU 2004001687	A3	20080630		
CN 1564811	A	20050112	CN 2002-819757	20021004
JP 2005504843	T	20050217	JP 2003-534381	20021004
NZ 532072	A	20070223	NZ 2002-532072	20021004
RU 2320643	C2	20080327	RU 2004-114244	20021004
ZA 2004001301	A	20041119	ZA 2004-1301	20040218
NO 2004000960	A	20040305	NO 2004-960	20040305
MX 2004PA03236	A	20040723	MX 2004-PA3236	20040405
IN 2004CN00702	A	20060113	IN 2004-CN702	20040405
US 20050059712	A1	20050317	US 2004-490464	20041001
PRIORITY APPLN. INFO.:			GB 2001-24027	A 20011005
			GB 2001-24028	A 20011005
			GB 2001-24839	A 20011016
			GB 2001-27173	A 20011112
			GB 2001-27174	A 20011112
			GB 2001-27343	A 20011114
			GB 2002-11524	A 20020520
			WO 2002-EP11140	W 20021004

OTHER SOURCE(S): MARPAT 138:321135

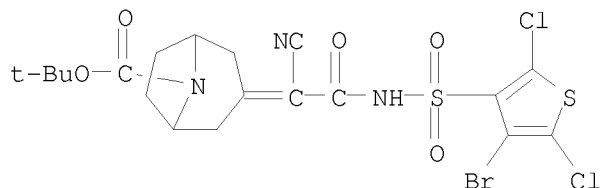
AB The title compds. with general formula of R1-(CH2)m-SO2NHCO-(CH2)n-R2 [wherein R1 = haloalkyl, (un)substituted alkenyl, thienyl, Py, benzothiazolyl, chromanyl, or aryl; R2 = (un)substituted alkenyl, alkyl, cyclyl, bicycyl, or tricycyl, etc.; m and n = independently 0-4; with exclusions] are prepared as inhibitors of steroid sulfatase. For example, 4-bromo-2,5-dichlorothiophene-3-sulfonyl chloride was treated with aqueous NH3 in AcOEt to give 4-bromo-2,5-dichlorothiophene-3-sulfonamide. The sulfonamide was reacted with 1-(tert-butoxycarbonyl)piperidine-4-carboxylic acid in DMF in the presence of DMAP, DIEA, and EDC to afford 4-(4-bromo-2,5-dichlorothiophene-3-sulfonylaminocarbonyl)piperidine-1-

carboxylic acid tert-Bu ester. The invention compds. showed IC50 of 0.0046 to 0.29  $\mu$ M against human steroid sulfatase.

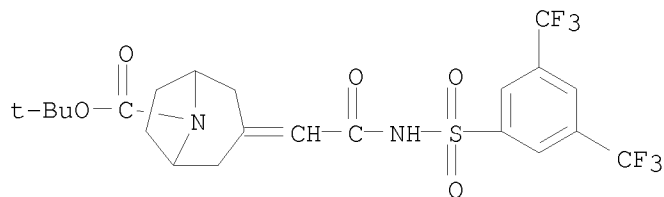
IT 512822-38-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of N-(piperidinylcarbonyl) acylsulfonamides as inhibitors of steroid sulfatase)  
 RN 512822-38-7 CAPLUS  
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(carboxycyanomethylene)-, 8-(1,1-dimethylethyl) ester (CA INDEX NAME)



IT 512821-16-8P 512821-27-1P 512821-29-3P  
 512821-30-6P 512821-31-7P 512821-32-8P  
 512821-33-9P 512821-34-0P 512821-35-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (steroid sulfatase inhibitor; preparation of N-(piperidinylcarbonyl) acylsulfonamides as inhibitors of steroid sulfatase)  
 RN 512821-16-8 CAPLUS  
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[[4-bromo-2,5-dichloro-3-thienyl)sulfonyl]amino]-1-cyano-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

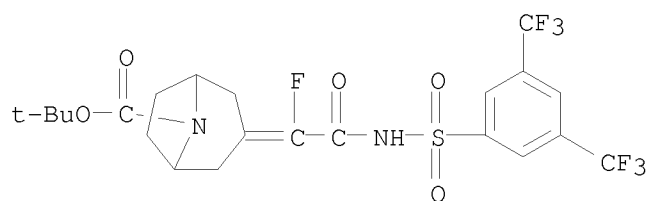


RN 512821-27-1 CAPLUS  
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[[3,5-bis(trifluoromethyl)phenyl)sulfonyl]amino]-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



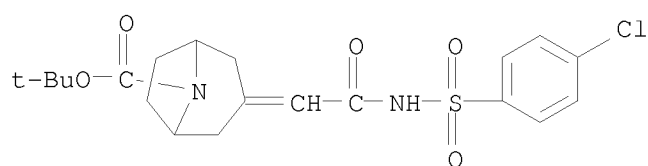
RN 512821-29-3 CAPLUS  
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[2-[[[3,5-bis(trifluoromethyl)phenyl)sulfonyl]amino]-1-fluoro-2-oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)





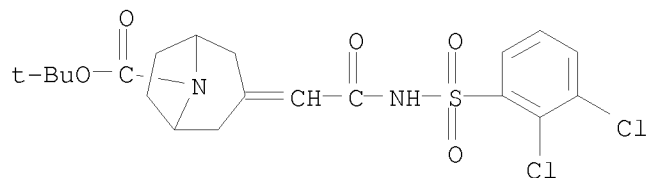
RN 512821-30-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
3-[2-[[[(4-chlorophenyl)sulfonyl]amino]-2-oxoethylidene]-,  
1,1-dimethylethyl ester (CA INDEX NAME)



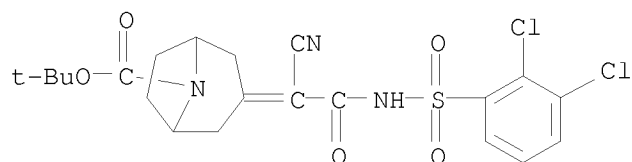
RN 512821-31-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
3-[2-[[[(2,3-dichlorophenyl)sulfonyl]amino]-2-oxoethylidene]-,  
1,1-dimethylethyl ester (CA INDEX NAME)



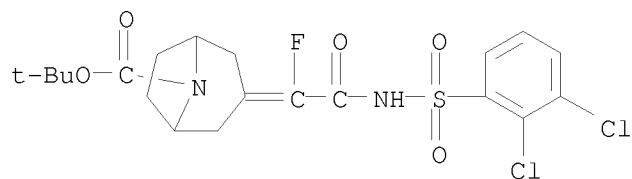
RN 512821-32-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
3-[1-cyano-2-[[[(2,3-dichlorophenyl)sulfonyl]amino]-2-oxoethylidene]-,  
1,1-dimethylethyl ester (CA INDEX NAME)

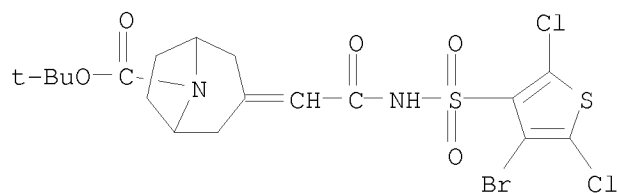


RN 512821-33-9 CAPLUS

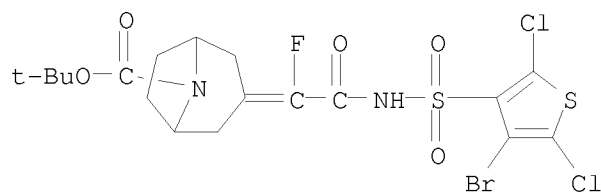
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
3-[2-[[[(2,3-dichlorophenyl)sulfonyl]amino]-1-fluoro-2-oxoethylidene]-,  
1,1-dimethylethyl ester (CA INDEX NAME)



RN 512821-34-0 CAPLUS  
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
 3-[2-[[[4-bromo-2,5-dichloro-3-thienyl)sulfonyl]amino]-2-oxoethylidene]-,  
 1,1-dimethylethyl ester (CA INDEX NAME)



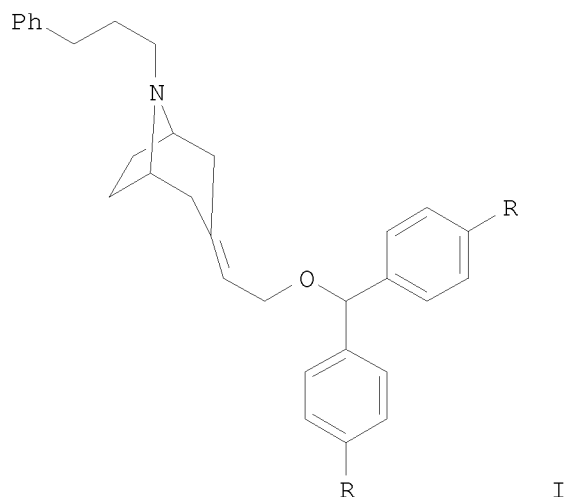
RN 512821-35-1 CAPLUS  
 CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid,  
 3-[2-[[[4-bromo-2,5-dichloro-3-thienyl)sulfonyl]amino]-1-fluoro-2-  
 oxoethylidene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:189370 CAPLUS  
DOCUMENT NUMBER: 139:52839  
TITLE: Synthesis of dopamine transporter selective  
3-{2-(Diarylmethoxyethylidene))-8-alkylaryl-8-  
azabicyclo[3.2.1]octanes  
AUTHOR(S): Bradley, Amy L.; Izenwasser, Sari; Wade, Dean;  
Cararas, Shaine; Trudell, Mark L.  
CORPORATE SOURCE: Department of Chemistry, University of New Orleans,  
New Orleans, LA, 70148, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003),  
13(4), 629-632  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 139:52839  
GI



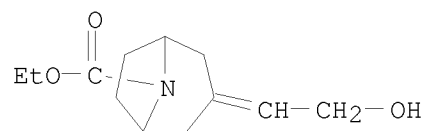
AB A series of 3-{2-(diarylmethoxyethylidene))-8-alkylaryl-8-azabicyclo[3.2.1]octanes was synthesized and the binding affinities of the compds. were determined at the dopamine and serotonin transporters. The 8-phenylpropyl analogs I [R = H ( $K_i$ =4.1 nM); R = F ( $K_i$ =3.7 nM)] were the most potent compds. of the series with binding affinities 3 times greater than GBR-12909. In addition, I (R = H; SERT/DAT=327) was over 300-fold more selective for the dopamine transporter than the serotonin transporter.

IT 548458-83-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of Et (hydroxyethylidene)azabicyclooctanecarbamate via demethylation/carbonylation of tropinone with Et chloroformate followed by olefination with di-Me (methoxycarbonyl)methylphosphonate, and reduction)

RN 548458-83-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(2-hydroxyethylidene)-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT:

24

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:749720 CAPLUS

DOCUMENT NUMBER: 136:37802

TITLE: Synthesis and biological evaluation of tropane-like  
1-{2-[bis(4-fluorophenyl)methoxy]ethyl}-4-(3-  
phenylpropyl)piperazine (GBR 12909) analogs

AUTHOR(S): Zhang, Ying; Joseph, David B.; Bowen, Wayne D.;  
Flippen-Anderson, Judith L.; Dersch, Christina M.;  
Rothman, Richard B.; Jacobson, Arthur E.; Rice, Kenner  
C.

CORPORATE SOURCE: Laboratory of Medicinal Chemistry National Institute  
of Diabetes and Digestive and Kidney Diseases,  
National Institutes of Health, Bethesda, MD,  
20892-0815, USA

SOURCE: Journal of Medicinal Chemistry (2001), 44(23),  
3937-3945

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:37802

AB The authors have prepared azabicyclo[3.2.1] derivs. (C-3-substituted  
tropanes) that bind with high affinity to the dopamine transporter and  
inhibit dopamine reuptake. Within the series,  
3-{2-[bis-(4-fluorophenyl)methoxy]ethylidene}-8-methyl-8-  
azabicyclo[3.2.1]octane (I) was found to have the highest affinity and  
selectivity for the dopamine transporter. These azabicyclo[3.2.1]  
(bridged piperidine) series of compds. differ from the well-known  
benztropines by a 2-carbon spacer between C-3 and a diarylmethoxy moiety.  
Interestingly, these new compds. demonstrated a much lower affinity for  
the muscarinic-1 site, at least a 100-fold decrease compared to  
benztropine. Interestingly, these new compds. demonstrated a much lower  
affinity for the muscarinic-1 site, at least a 100-fold decrease compared  
to benztropine. Replacing N-Me with N-phenylpropyl in two of the compds.  
resulted in a 3-10-fold increase in binding affinity for the dopamine  
transporter. However, those compds. lost selectivity for the dopamine  
transporter over the serotonin transporter. Replacement of the ether  
oxygen in the diarylmethoxy moiety with a nitrogen atom gave relatively  
inactive amines, indicating the important role which is played by the  
ether oxygen in transporter binding. Reduction of the C-3 double bond in I  
gave 3 $\alpha$ -substituted tropanes, as shown by X-ray crystallog.  
analyses. The 3 $\alpha$ -substituted tropanes had lower affinity and less  
selectivity than the comparable unsatd. ligands.

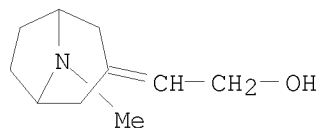
IT 380601-96-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation, muscarinic M1 receptor, dopamine and serotonin transporter  
affinity, and structure-activity relationship of azabicyclooctane  
derivs. as GBR 12909 analogs)

RN 380601-96-7 CAPLUS

CN Ethanol, 2-(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)- (CA INDEX NAME)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

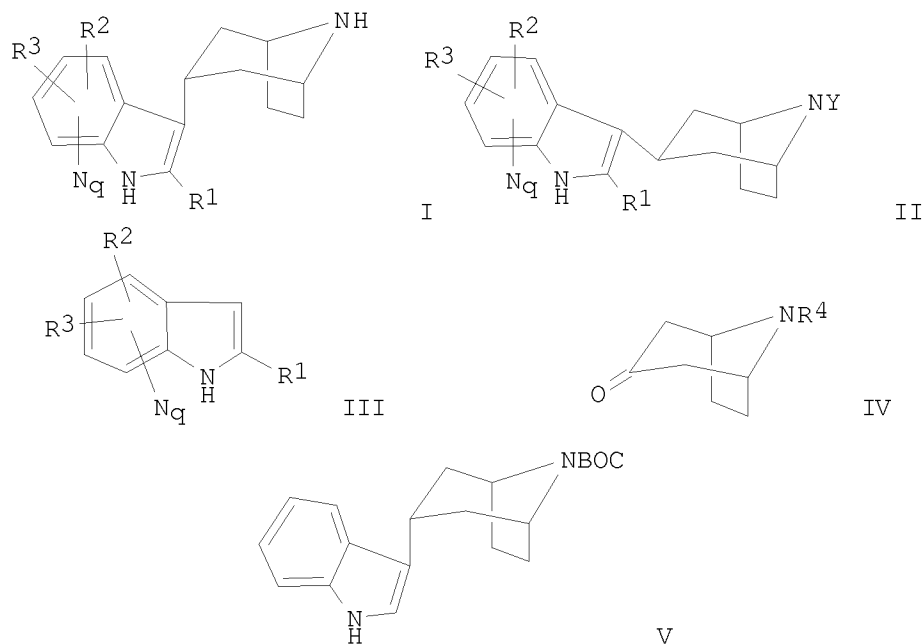


L3 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:152680 CAPLUS  
 DOCUMENT NUMBER: 134:208001  
 TITLE: Process for preparation of indolyltropane derivatives  
 INVENTOR(S): Forbes, Ian Thomson  
 PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK  
 SOURCE: PCT Int. Appl., 16 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014374	A2	20010301	WO 2000-EP7697	20000808
WO 2001014374	A3	20011011		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-19843 A 19990820  
 OTHER SOURCE(S): CASREACT 134:208001; MARPAT 134:208001  
 GI



AB A process is described for the stereoselective preparation of exo- and endo-indolyltropanes I and II (R1 = H or (C1-6)alkyl; R2 and R3 may be the same or different, are selected from H, halo, cyano, (C1-6)alkyl,

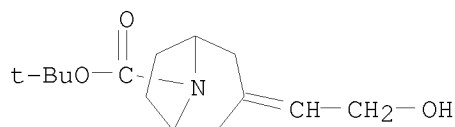
(C3-7)cycloalkyl, (C1-6)alkoxy, halo(C1-6)alkyl, hydroxy, oxo, amino, mono- or di-(C1-6)alkylamino, acylamino, nitro, carboxy, (C1-6)alkoxycarbonyl, (C1-6)alkenyloxycarbonyl, (C1-6)alkoxycarbonyl(C1-6)alkyl, carboxy(C1-6)alkyl, (C1-6)alkylcarbonyloxy, carboxy(C1-6)alkyloxy, (C1-6)alkoxycarbonyl(C1-6)alkoxy, (C1-6)alkylthio, (C1-6)alkylsulfinyl, (C1-6)alkylsulfonyl, sulfamoyl, mono- and di-(C1-6)-alkylsulfamoyl, carbamoyl, mono- and di-(C1-6)alkylcarbamoyl, (C1-6)alkylsulfonamido, arylsulfonamido, aryl, aryl(C1-6)alkyl, aryl(C1-6)alkoxy, aryloxy, and heterocyclyl; Y = H, nitrogen protecting group or an organic substituent; and Nq represents optional ring nitrogen atoms in positions 4, 5, 6, and 7; wherein q is 0, 1 or 2) by reaction of the indoles III with tropanes IV (R4 = H, BOC) followed by hydrogenation. Thus, N-(benzyloxycarbonyl)tropinone was condensed with indole in AcOH containing AcOH and the product hydrogenated in EtOH in presence of Pd followed by reaction with di-tert-Bu dicarbonate to give the indolyltropane V.

IT 257628-74-3P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for preparation of indolyltropane derivs.)

RN 257628-74-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(2-hydroxyethylidene)-, 1,1-dimethylethyl ester (CA INDEX NAME)





L3 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:808199 CAPLUS  
DOCUMENT NUMBER: 132:152008  
TITLE: Highly stereoselective synthesis of exo and endo indolotropanes  
AUTHOR(S): Forbes, Ian T.  
CORPORATE SOURCE: SmithKline Beecham Pharmaceuticals, New Frontiers Science Park, Essex, CM19 5AD, UK  
SOURCE: Tetrahedron Letters (1999), 40(52), 9293-9295  
CODEN: TELEAY; ISSN: 0040-4039  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 132:152008

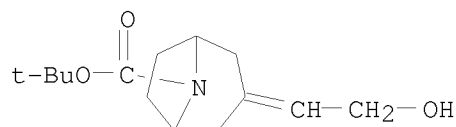
AB Highly stereoselective routes to exo and endo indolotropanes have been developed. This provides a facile route to these bicyclic analogs of the pharmaceutically active indolopiperidine motif.

IT 257628-74-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(highly stereoselective synthesis of exo and endo indolotropanes)

RN 257628-74-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(2-hydroxyethylidene)-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

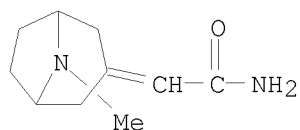
ACCESSION NUMBER: 1998:237743 CAPLUS  
DOCUMENT NUMBER: 129:4602  
ORIGINAL REFERENCE NO.: 129:1109a,1112a  
TITLE: 5-HT3 and 5-HT4 receptor affinities of  
naphtho[1,2-d]thiazole derivatives with various basic  
side chains  
AUTHOR(S): Perrone, Roberto; Berardi, Francesco; Colabufo, Nicola  
A.; Leopoldo, Marcello; Tortorella, Vincenzo  
CORPORATE SOURCE: Dip. Farmaco-Chimico, Bari, 70126, Italy  
SOURCE: Medicinal Chemistry Research (1997), 7(9), 519-529  
CODEN: MCREEB; ISSN: 1054-2523  
PUBLISHER: Birkhaeuser Boston  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Several 2-piperidinyl- and 2-(piperazinyl)alkyl-substituted derivs. of  
8,9-dihydronaphtho[1,2-d]thiazole and some related compds. were prepared and  
studied in serotonin 5-HT3 and 5-HT4 and dopamine D2 receptor binding  
assays. The naphthothiazole group linked to N-methylpiperazine led to a  
good 5-HT3 affinity (IC50=11 nM) and high selectivity vs. 5-HT4 and D2  
receptors (IC50=1360 nM and IC50 > 10000 nM, resp.). Replacement of the  
piperazine ring with other heterocycles lowered the 5-HT3 receptor  
affinity to a 310-3600 nM range and the selectivity vs. 5-HT4 receptors  
disappeared.

IT 207406-57-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(5-HT3 and 5-HT4 receptor affinities of naphtho[1,2-d]thiazole derivs.)

RN 207406-57-3 CAPLUS

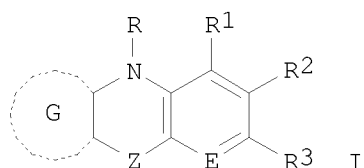
CN Acetamide, 2-(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)- (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1998:126254 CAPLUS  
 DOCUMENT NUMBER: 128:204878  
 ORIGINAL REFERENCE NO.: 128:40519a,40522a  
 TITLE: Preparation of pyrazinobenzothiazine derivatives and analogs for the treatment of inflammation and autoimmune diseases  
 INVENTOR(S): Kaneko, Toshihiko; Clark, Richard; Ohi, Norihito; Ozaki, Fumihiro; Kawahara, Tetsuya; Kamada, Atsushi; Okano, Kazuo; Yokohama, Hiromitsu; Muramoto, Kenzo; Arai, Tohru; Ohkuro, Masayoshi; Takenaka, Osamu; Sonoda, Jiro  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 1344 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806720	A1	19980219	WO 1997-JP2787	19970808
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2262569	A1	19980219	CA 1997-2262569	19970808
AU 9737849	A	19980306	AU 1997-37849	19970808
ZA 9707103	A	19990208	ZA 1997-7103	19970808
EP 934941	A1	19990811	EP 1997-934750	19970808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 4028894	B2	20071226	JP 1998-509589	19970808
US 6518423	B1	20030211	US 1999-230852	19990405
US 20040092737	A1	20040513	US 2002-247310	20020920
PRIORITY APPLN. INFO.:			JP 1996-210344	A 19960809
			WO 1997-JP2787	W 19970808
			US 1999-230852	A3 19990405
OTHER SOURCE(S):		MARPAT 128:204878		
GI				



AB The title compds. I [R1 to R3 are the same or different and each represents hydrogen, optionally substituted lower alkyl, optionally substituted cycloalkyl, etc., provided that when R1 to R3 are all optionally substituted lower alkyl groups, they do not simultaneously represent Me groups; R represents hydrogen, lower alkyl, etc.; E represents N, C, etc.; Z represents O, S, SO, SO2, etc.; and the ring G represents an optionally substituted heteroaryl ring having at least one nitrogen atom] are prepared I are useful in the treatment and prevention of inflammatory immunol. diseases, autoimmune diseases, rheumatism, collagen disease, asthma, nephritis, ischemic reflow disorders, psoriasis, atopic dermatitis or rejection reactions following organ transplantation. The compound (syn)-[3-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-3-azabicyclo[3.3.1]nona-9-yl]acetic acid (II) at 10 mg/kg orally gave 65%

inhibition of carrageenin-induced inflammation in rats. II in vitro showed IC50 of 2.3  $\mu$ M against the expression of ICAM-1.

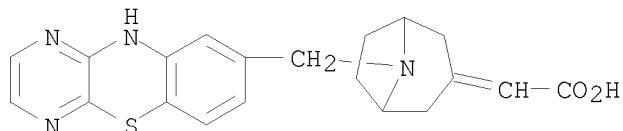
IT 203647-30-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazinobenzothiazine derivs. and analogs for treatment of inflammation and autoimmune diseases)

RN 203647-30-7 CAPLUS

CN Acetic acid, 2-[8-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]- (CA INDEX NAME)



REFERENCE COUNT:

46

THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

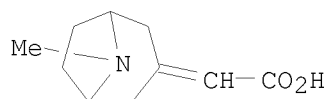
ACCESSION NUMBER: 1995:834157 CAPLUS  
DOCUMENT NUMBER: 124:55731  
ORIGINAL REFERENCE NO.: 124:10533a,10536a  
TITLE: New 5-HT<sub>3</sub> (serotonin-3) receptor antagonists. IV.  
Synthesis and structure-activity relationships of  
azabicycloalkaneacetamide derivatives  
AUTHOR(S): Kato, Masayuki; Ito, Kiyotaka; Nishino, Shigetaka;  
Yamakuni, Hisashi; Takasugi, Hisashi  
CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka,  
532, Japan  
SOURCE: Chemical & Pharmaceutical Bulletin (1995), 43(8),  
1351-7  
CODEN: CPBTAL; ISSN: 0009-2363  
PUBLISHER: Pharmaceutical Society of Japan  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The synthesis and structure-activity relationships of a series of new  
azabicycloalkanes as 5-HT<sub>3</sub> (serotonin-3) receptor antagonists are  
described. Our study on the azabicycloalkaneacetamide derivs. showed that  
2,3-dihydroindole as the aromatic ring moiety afforded potent 5-HT<sub>3</sub> receptor  
antagonist activity, as judged by blockade of bradycardia induced by i.v.  
injection of 2-methylserotonin in anesthetized rats. 7-Azaindole as the  
aromatic moiety afforded weak 5-HT<sub>3</sub> receptor antagonists activity. The best  
5-HT<sub>3</sub> antagonists in this study were endo-3,3-diethyl- and  
3,3-dimethyl-2,3-dihydro-1-[(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)acetyl]-  
1H-indole, being approx. 10-fold more potent than ondansetron. This study  
shows that the azabicycloalkaneacetyl group is a new pharmacophoric  
element as a basic nitrogen and a linking carbonyl moiety.

IT 5811-04-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT  
(Reactant or reagent); USES (Uses)  
(preparation and structure-activity relationships of serotonin receptor  
antagonist azabicycloalkaneacetamides)

RN 5811-04-1 CAPLUS

CN Acetic acid, (8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)- (9CI) (CA INDEX  
NAME)

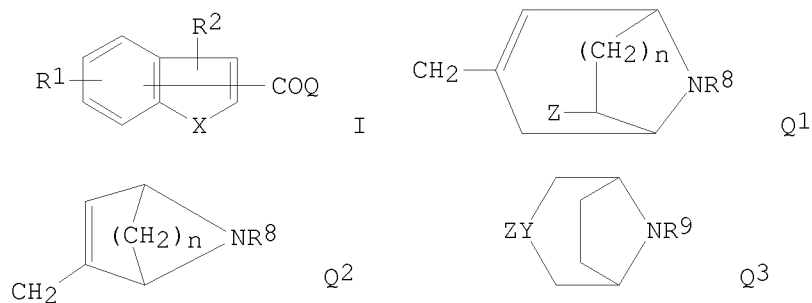


ACCESSION NUMBER: 1989:594605 CAPLUS  
 DOCUMENT NUMBER: 111:194605  
 ORIGINAL REFERENCE NO.: 111:32346h,32347a  
 TITLE: Carbocyclic and heterocyclic carbonylmethylene- and carbonylmethylpiperidines and -pyrrolidines as serotonin antagonists  
 INVENTOR(S): Richardson, Brian P.; Giger, Rudolf K. A.; Engel, Guenter; Furler, Roland  
 PATENT ASSIGNEE(S): Sandoz A.-G., Switz.  
 SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 49,757, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4826838	A	19890502	US 1987-70451	19870707
BE 903984	A1	19860707	BE 1986-11412	19860106
FR 2575750	A1	19860711	FR 1986-147	19860106
FR 2575750	B1	19880909		

PRIORITY APPLN. INFO.:  
 DE 1985-3500289 A 19850107  
 DE 1985-3500290 A 19850107  
 US 1986-815617 A1 19860102  
 CH 1987-759 A 19870227  
 GB 1987-5285 A 19870306  
 US 1987-49757 A2 19870513

OTHER SOURCE(S): MARPAT 111:194605  
 GI



AB Title compds. I [X = CH<sub>2</sub>, O, S, NR<sub>3</sub>; R<sub>1</sub>, R<sub>2</sub> = H, halo, C<sub>1</sub>-4 alkyl, C<sub>1</sub>-4 alkoxy, OH, (mono- or di-C<sub>1</sub>-4 alkyl)amino, SH, C<sub>1</sub>-4 alkylthio; R<sub>3</sub> = H, C<sub>1</sub>-4 alkyl, C<sub>3</sub>-5 alkenyl, (mono-C<sub>1</sub>-4 alkyl-, halo-, OH-, C<sub>1</sub>-4 alkoxy-, or phenyl-C<sub>1</sub>-4 allyl-substituted) Ph; Q = bicycylmethyl, e.g. Q<sub>1</sub> [R<sub>8</sub> = H, C<sub>1</sub>-4 alkyl, (substituted) Ph, alkenyl n = 1-3; Z = H, C<sub>1</sub>-4 alkoxy, Q<sub>2</sub> (II), 2,3,4,5-R<sub>4</sub>R<sub>5</sub>R<sub>6</sub>R<sub>7</sub>C<sub>6</sub>HCOQ [R<sub>4</sub>-R<sub>7</sub> = H, (mono- or di-C<sub>1</sub>-4 alkyl-substituted) amino, NO<sub>2</sub>, halo, C<sub>1</sub>-4 alkoxy, C<sub>1</sub>-4 alkyl, C<sub>1</sub>-4 alkanoylamino, pyrrolyl] (III), and I (X = NH, S; R<sub>1</sub> = H; R<sub>2</sub> = H, C<sub>1</sub>-4 alkyl; Q = Q<sub>1</sub>, Q<sub>3</sub>, R<sub>9</sub> = C<sub>1</sub>-4 alkyl; Y = CH:C, CH<sub>2</sub>CH) (IV) are prepared, as analgesics, antiarrhythmics and for treating gastrointestinal disorders. Wittig reaction of tropinone with Ph<sub>3</sub>P:CHCO<sub>2</sub>Me in C<sub>6</sub>H<sub>6</sub> in the presence of PhCO<sub>2</sub>H gave Q<sub>3</sub>CO<sub>2</sub>Me (R<sub>9</sub> = Me; ZY = CH:C), which was converted to Q<sub>3</sub>COC<sub>1</sub> in two steps followed by condensation with indole pretreated with MeMgI to afford I (R<sub>1</sub> = R<sub>2</sub> = H; X = NH; Q = Q<sub>3</sub>; ZY = CH:C, R<sub>9</sub> = Me) (V). II, III,

and IV inhibited 5-hydroxytryptophan-induced gastrointestinal motility in mice at 0.05-1 mg/kg i.v. and 0.1-3.0 mg/kg p.o. Tablets were formulated containing V 15.0, hydroxypropylcellulose 1.2, corn starch 13.0, lactose 93.7, silica 0.6, and Mg stearate 15 mg.

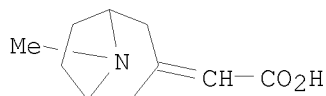
IT 5811-04-1P 123368-82-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of serotonin antagonist)

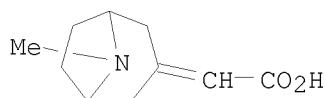
RN 5811-04-1 CAPLUS

CN Acetic acid, (8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)- (9CI) (CA INDEX NAME)



RN 123368-82-1 CAPLUS

CN Acetic acid, 2-(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

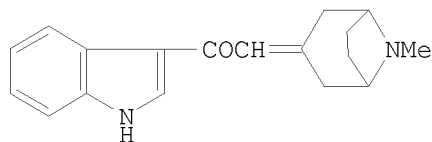
L3 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:608764 CAPLUS  
DOCUMENT NUMBER: 105:208764  
ORIGINAL REFERENCE NO.: 105:33663a,33666a  
TITLE: Carbocyclic and heterocyclic carbonyl methylene- and  
-methylpiperidines and -pyrrolidines  
INVENTOR(S): Richardson, Brian; Giger, Rudolf; Engel, Guenter;  
Furler, Roland  
PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.  
SOURCE: Ger. Offen., 43 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3545981	A1	19860710	DE 1985-3545981	19851223
CH 667657	A5	19881031	CH 1986-6	19860102
GB 2169292	A	19860709	GB 1986-95	19860103
GB 2169292	B	19880921		
BE 903984	A1	19860707	BE 1986-11412	19860106
FR 2575750	A1	19860711	FR 1986-147	19860106
FR 2575750	B1	19880909		
JP 61161282	A	19860721	JP 1986-1233	19860106

PRIORITY APPLN. INFO.: DE 1985-3500289 A 19850107  
DE 1985-3500290 A 19850107

OTHER SOURCE(S): CASREACT 105:208764; MARPAT 105:208764  
GI



AB Carbocyclic and heterocyclic carbonylmethylene- and -methylpiperidines and -pyrrolidines, whose piperidine and pyrrolidine rings are bridged with an alkylene bridge and optionally unsatd., with the condition, that in case the alkylene-bridged piperidine ring is a quinuclidine ring bound in the 3 position, the carbocyclic carbonylmethyl and carbonylmethylene groups are not PhCOCH<sub>2</sub> and PhCOCH: groups, as well as in case the alkylene bridged piperidine ring is a 3-tropanyl group, the carbocyclic carbonylmethyl group is not PhCOCH<sub>2</sub>. The compds. are analgesics, antiarrhythmics, 5HT-3-receptor antagonists and are useful in treating migraines and gastrointestinal disorders. Detailed information concerning tests and dosages was given. In an example, I was prepared in 4 steps from Ph<sub>3</sub>P:CHCO<sub>2</sub>Me, BzOH, and tropinone in C<sub>6</sub>H<sub>6</sub>.

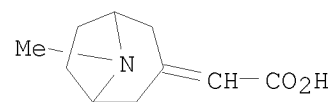
IT 5811-04-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and conversion of, to acid chloride)

RN 5811-04-1 CAPLUS

CN Acetic acid, (8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)- (9CI) (CA INDEX NAME)





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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

88.16

266.73

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-12.80

-12.80

STN INTERNATIONAL LOGOFF AT 10:30:24 ON 04 NOV 2008